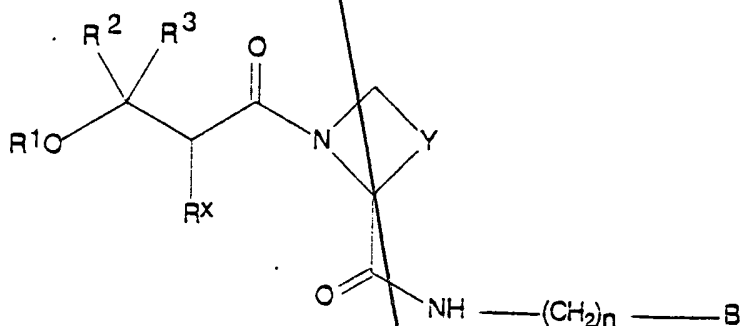


1. (Amended) A compound of formula I,



wherein

R<sup>1</sup> represents H, C(O)R<sup>11</sup>, SiR<sup>12</sup>R<sup>13</sup>R<sup>14</sup> or C<sub>1-6</sub> alkyl which latter group is optionally substituted or terminated by one or more substituent selected from OR<sup>15</sup> or (CH<sub>2</sub>)<sub>q</sub>R<sup>16</sup>;

R<sup>12</sup>, R<sup>13</sup> and R<sup>14</sup> independently represent H, phenyl or C<sub>1-6</sub> alkyl;

R<sup>16</sup> represents C<sub>1-4</sub> alkyl, phenyl, OH, C(O)OR<sup>17</sup> or C(O)N(H)R<sup>18</sup>;

R<sup>18</sup> represents H, C<sub>1-4</sub> alkyl or CH<sub>2</sub>C(O)OR<sup>19</sup>;

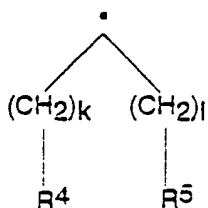
R<sup>15</sup> and R<sup>17</sup> independently represent H, C<sub>1-6</sub> alkyl or C<sub>7-9</sub> alkylphenyl;

R<sup>11</sup> and R<sup>19</sup> independently represent H or C<sub>1-4</sub> alkyl; and

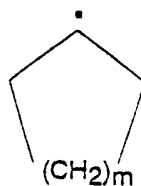
q represents 0, 1 or 2;

R<sup>2</sup> and R<sup>3</sup> independently represent H, C<sub>1-4</sub> alkyl, cyclohexyl or phenyl;

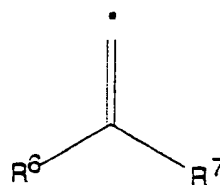
R<sup>x</sup> represents a structural fragment of formula IIa, IIb or IIc,



IIa



IIb



IIc

wherein

k, l and m independently represent 0, 1, 2, 3 or 4;

R<sup>4</sup> and R<sup>5</sup> independently represent H, Si(Me)<sub>3</sub>, 1- or 2-naphthyl, a polycyclic hydrocarbyl group, CHR<sup>41</sup>R<sup>42</sup> or C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more fluorine atoms), or C<sub>3-8</sub> cycloalkyl phenyl, methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl (which latter twelve groups are optionally substituted by one or more of C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more halo substituent), C<sub>1-4</sub> alkoxy, halo, hydroxy, cyano, nitro, SO<sub>2</sub>NH<sub>2</sub>, C(O)OH or N(H)R<sup>43</sup>);

R<sup>41</sup> and R<sup>42</sup> independently represent cyclohexyl or phenyl;

R<sup>6</sup> and R<sup>7</sup> independently represent H, C<sub>1-4</sub> alkyl, C<sub>3-8</sub> cycloalkyl, phenyl (which latter group is are optionally substituted by one or more of C<sub>1-4</sub> alkyl (which latter group is optionally substituted by one or more halo substituent),

C<sub>1-4</sub> alkoxy, halo, hydroxy, cyano, nitro, SO<sub>2</sub>NH<sub>2</sub>, C(O)OH or N(H)R<sup>44</sup>) or together with the carbon atom to which they are attached form a C<sub>3-8</sub> cycloalkyl ring;

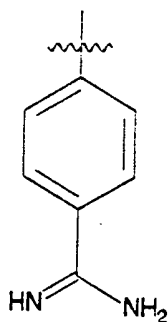
R<sup>43</sup> and R<sup>44</sup> independently represent H or C(O)R<sup>45</sup>; and

R<sup>45</sup> represents H, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> alkoxy;

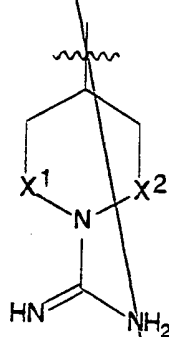
Y represents (CH<sub>2</sub>)<sub>2</sub>, CH=CH, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH=CH or CH=CHCH<sub>2</sub>, which latter three groups are optionally substituted by C<sub>1-4</sub> alkyl, methylene, oxo or hydroxy;

n represents 0, 1, 2, 3 or 4; and

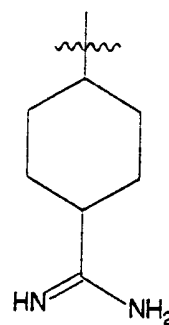
B represents a structural fragment of formula IVa, IVb or IVc



IVa



IVb



IVc

wherein

X<sup>1</sup> and X<sup>2</sup> independently represents a single bond or CH<sub>2</sub>;

or a pharmaceutically acceptable salt thereof.

A<sup>2</sup> 3. (Amended) A compound of formula I, as defined in Claim 1, wherein  
R<sup>1</sup> represents optionally substituted C<sub>1-6</sub> alkyl or H.

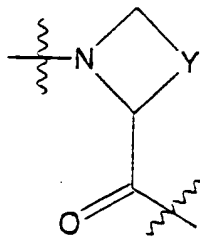
5. (Amended) A compound of formula I, as defined in claim 1, wherein  
R<sup>x</sup> represents a structural fragment of formula IIa.

11 B 6. (Amended) A compound of formula I, as defined in claim 1, wherein  
Y represents (CH<sub>2</sub>)<sub>2</sub>.

7. (Amended) A compound of formula I, as defined in Claim 1, wherein  
n represents 1.

8. (Amended) A compound of formula I, as defined in Claim 1, wherein  
B represents a structural fragment of formula IVa..

9. (Amended) A compound of formula I, as defined in claim 1, wherein  
the fragment



is in the S-configuration.

10. (Amended) A compound as claimed in Claim 1 which is

- Sub*  
*21*
- H 3*
- (*R,S*)-PhCH(CH<sub>2</sub>OH)-C(O)-Pro-(*R,S*)-Hig;
  - (*S*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-3-aminophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3-(methylamino)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-3-(methylamino)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-PhCH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-3-(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3-(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-3-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-((3-chloro-5-methylphenyl))-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-((3-chloro-5-methylphenyl))-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-3-fluorophenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab;
  - (*R*)-3-fluorophenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab;
  - (*S*)-3-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-3,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-3,5-bis(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3,5-bis(trifluoromethyl)phenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-3-methoxy-5-methylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-(2,5-dimethoxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-(3,5-dimethoxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R,S*)-3,4-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*S*)-3-(2-naphthyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;
  - (*R*)-3-(2-naphthyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;

*(R)*-2,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-2,5-dimethylphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R)*-3-methoxy-4-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-3-methoxy-4-hydroxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R)*-3,5-dichlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-3,5-dichlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R)*-2,3-dimethoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-2,3-dimethoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R)*-3-methoxy-5-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-3-methoxy-5-chlorophenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R)*-2-methyl-5-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(S)*-2-methyl-5-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab;  
*(R,S)*-Ph-C(Me)(CH<sub>2</sub>OMe)-C(O)-Pro-Pab;  
*(R)*-2,3-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab; or  
*(S)*-2,3-(methylenedioxyphenyl)-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab  
or a pharmaceutically acceptable salt thereof.

19. (Amended) A compound as claimed in Claim 17 which is

*(R,S)*-Ph-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
*(S)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab(Z);  
*(R)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)CO-Pro-Pab(Z);  
*(S)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
*(R)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OH;  
*(S)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)Et;  
*(R)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)Et;  
*(S)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)CH<sub>3</sub>;

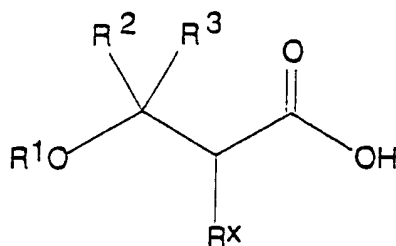
*(R)*-3-methoxyphenyl-CH(CH<sub>2</sub>OH)-C(O)-Pro-Pab-OC(O)CH<sub>3</sub>;  
*(R,S)*-3-Ph-C(Me)(CH<sub>2</sub>OMe)-C(O)-Pro-Pab(Z); or  
*(R,S)*-3-methylphenyl-CH(CH<sub>2</sub>OAc)-C(O)-Pro-Pab-OMe;  
or a pharmaceutically acceptable salt thereof.

20. (Amended) A pharmaceutical formulation including a compound as defined in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable adjuvant, diluent or carrier..

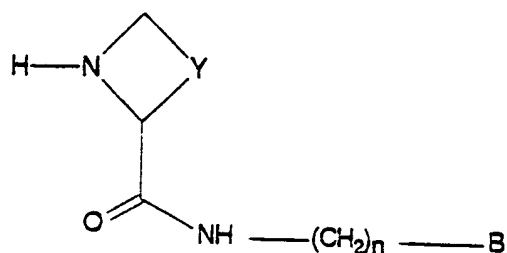
28. (Amended) A method of treatment of a condition where inhibition of thrombin is required which method comprises administration of a therapeutically effective amount of a compound as defined in claim 1, or a pharmaceutically acceptable salt thereof, to a person suffering from, or susceptible to, such a condition.

32. (Amended) A process for the preparation of compounds of formula I as defined in claim 1, which comprises:

(a) the coupling of a compound of formula V,



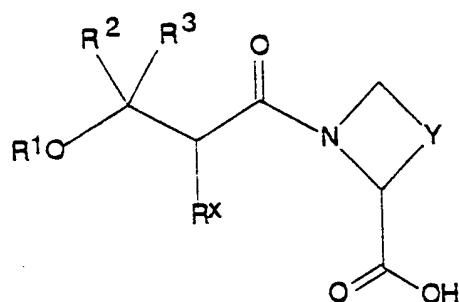
wherein  $R^1$ ,  $R^2$ ,  $R^3$  and  $R^x$  are as defined in Claim 1, with a compound of formula VI,



VI

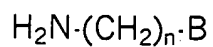
wherein Y, n and B are as defined in Claim 1; or

(b) the coupling of a compound of formula VII,



VII

wherein  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^x$  and Y are as defined in Claim 1 with a compound of formula VIII,



VIII

wherein n and B are as defined in Claim 1.

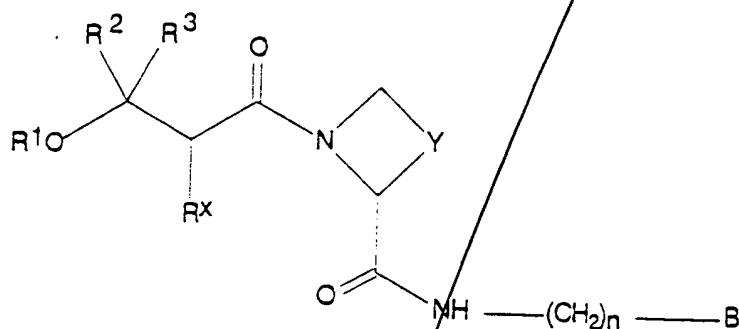


Please add the following new claim:

33. (New) A compound of formula I, as defined in Claim 1, wherein R<sup>2</sup>

and R<sup>3</sup> are both H.

1. (Twice Amended) A compound of formula I,



wherein

C1  $R^1$  represents  $H$ ,  $C(O)R^{11}$ ,  $SiR^{12}R^{13}R^{14}$  or  $C_{1-6}$  alkyl which latter group is optionally substituted or terminated by one or more substituent selected from the group consisting of  $OR^{15}$  and  $(CH_2)_qR^{16}$ ;

$R^{12}$ ,  $R^{13}$  and  $R^{14}$  independently represent  $H$ , phenyl or  $C_{1-6}$  alkyl;

$R^{16}$  represents  $C_{1-4}$  alkyl, phenyl,  $OH$ ,  $C(O)OR^{17}$  or  $C(O)N(H)R^{18}$ ;

$R^{18}$  represents  $H$ ,  $C_{1-4}$  alkyl or  $CH_2C(O)OR^{19}$ ;

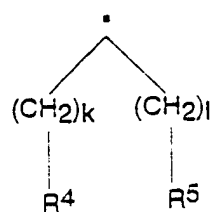
$R^{15}$  and  $R^{17}$  independently represent  $H$ ,  $C_{1-6}$  alkyl or  $C_{7-9}$  alkylphenyl;

$R^{11}$  and  $R^{19}$  independently represent  $H$  or  $C_{1-4}$  alkyl; and

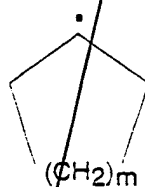
$q$  represents 0, 1 or 2;

$R^2$  and  $R^3$  are both hydrogen;

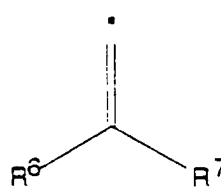
$R^X$  represents a structural fragment of formula IIa, IIb or IIc,



IIa



IIb



IIc

wherein

k, l and m independently represent 0, 1, 2, 3 or 4;

*C1*  $R^4$  and  $R^5$  independently represent H,  $\text{Si}(\text{Me})_3$ , 1- or 2-naphthyl, a polycyclic hydrocarbyl group,  $\text{CHR}^{41}\text{R}^{42}$  or  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more fluorine atoms), or  $\text{C}_{3-8}$  cycloalkyl, phenyl, methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl (which latter twelve groups are optionally substituted by one or more of  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more halo substituent),  $\text{C}_{1-4}$  alkoxy, halo, hydroxy, cyano, nitro,  $\text{SO}_2\text{NH}_2$ ,  $\text{C}(\text{O})\text{OH}$  or  $\text{N}(\text{H})\text{R}^{43}$ );

$R^{41}$  and  $R^{42}$  independently represent cyclohexyl or phenyl;

$R^6$  and  $R^7$  independently represent H,  $\text{C}_{1-4}$  alkyl,  $\text{C}_{3-8}$  cycloalkyl, phenyl (which latter group is are optionally substituted by one or more of  $\text{C}_{1-4}$  alkyl (which latter group is optionally substituted by one or more halo substituent),  $\text{C}_{1-4}$  alkoxy, halo, hydroxy, cyano, nitro,  $\text{SO}_2\text{NH}_2$ ,  $\text{C}(\text{O})\text{OH}$  or  $\text{N}(\text{H})\text{R}^{44}$ ) or together

with the carbon atom to which they are attached form a C<sub>3-8</sub> cycloalkyl ring;

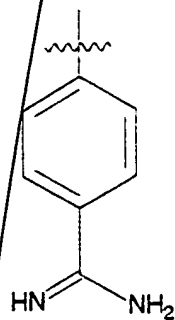
R<sup>43</sup> and R<sup>44</sup> independently represent H or C(O)R<sup>45</sup>; and

R<sup>45</sup> represents H, C<sub>1-4</sub> alkyl or C<sub>1-4</sub> alkoxy;

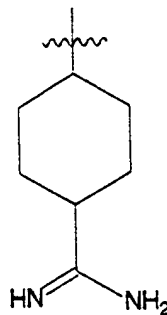
Y represents (CH<sub>2</sub>)<sub>2</sub>, CH=CH, (CH<sub>2</sub>)<sub>3</sub>, CH<sub>2</sub>CH=CH or CH=CHCH<sub>2</sub>, which latter three groups are optionally substituted by C<sub>1-4</sub> alkyl, methylene, oxo or hydroxy;

n represents 0, 1, 2, 3 or 4; and

B represents a structural fragment of formula IVa or IVc



IVa



IVc

or a pharmaceutically acceptable salt thereof.

C2

8. (Twice Amended) A compound of formula I, as defined in Claim 1, wherein B represents a structural fragment of formula IVa.

11. (Amended) A compound of formula I, as defined in Claim 1, provided that when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> do/does not represent phenyl substituted by halo-substituted C<sub>1-6</sub> alkyl.

12. (Amended) A compound of formula I, as defined in Claim 1, provided that when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> do/does not represent methylenedioxyphenyl, benzodioxanyl, benzofuranyl, dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl, coumaranonyl, coumarinyl or dihydrocoumarinyl.

13. (Amended) A compound of formula I, as defined in Claim 1, provided that when R<sup>x</sup> represents a structural fragment of formula IIc, then R<sup>6</sup> and/or R<sup>7</sup> represent(s) unsubstituted phenyl.

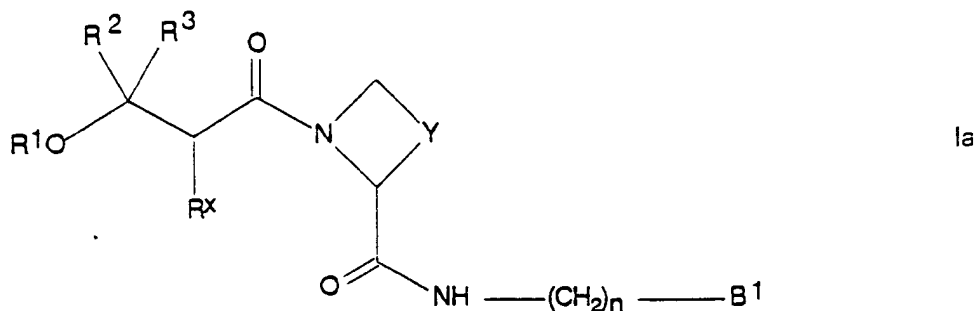
14. (Amended) A compound of formula I, as defined in Claim 1, wherein, when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> represent(s) phenyl substituted by halo-substituted C<sub>1-6</sub> alkyl.

15. (Amended) A compound of formula I, as defined in Claim 1, wherein, when R<sup>x</sup> represents a structural fragment of formula IIa, then R<sup>4</sup> and/or R<sup>5</sup> represent(s) methylenedioxyphenyl, benzodioxanyl, benzofuranyl,

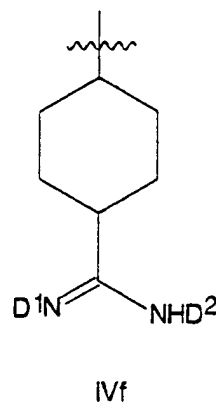
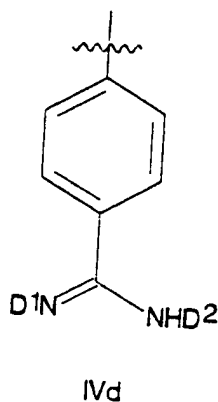
dihydrobenzofuranyl, benzothiazolyl, benzoxazolyl, benzimidazolyl,  
coumaranonyl, coumarinyl or dihydrocoumarinyl.

16. (Amended) A compound of formula I, as defined in Claim 1, wherein,  
when  $R^x$  represents a structural fragment of formula IIc, then  $R^6$  and/or  $R^7$   
represent(s) substituted phenyl.

17. (Amended) A compound of formula Ia,



wherein  $B^1$  represents a structural fragment of formula IVd or IVf



wherein  $D^1$  and  $D^2$  independently represent H, OH,  $OR^a$ ,  $OC(O)R^b$ ,

OC(O)OR<sup>c</sup>, C(O)OR<sup>d</sup>, or C(O)R<sup>e</sup> and R<sup>a</sup>, R<sup>b</sup>, R<sup>c</sup>, R<sup>d</sup> and R<sup>e</sup> independently represent phenyl, benzyl, (CH<sub>2</sub>)<sub>2</sub>OC(O)CH<sub>3</sub> or C<sub>1-6</sub> alkyl which latter group is optionally interrupted by oxygen; and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>x</sup>, Y and n are as defined in Claim 1, or a pharmaceutically acceptable salt thereof, provided that D<sup>1</sup> and D<sup>2</sup> do not both represent H.

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20. (Twice Amended) A pharmaceutical formulation including a compound as defined in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable adjuvant, diluent or carrier.

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